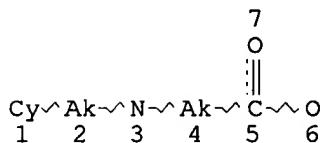


09/757,011

February 12, 2002

=> d que

L3	71149	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	1839.6/RID
L7	12480	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	3068.4/RID
L10	24196	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	2508.272/RID
L17	5723	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	3068.33/RID
L19	5124	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	3691.3/RID
L20	118398	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L3 OR L7 OR L10 OR L17 OR L19
L21		STR				



NODE ATTRIBUTES:

```

CONNECT IS E2 RC AT    2
CONNECT IS E2 RC AT    4
DEFAULT MLEVEL IS ATOM
GGCAT   IS PCY  UNS  AT    1
GGCAT   IS LOC  AT    2
GGCAT   IS LOC  AT    4
DEFAULT ECLEVEL IS LIMITED

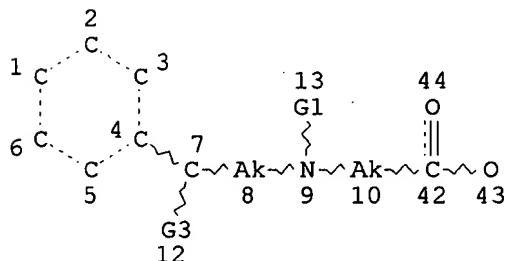
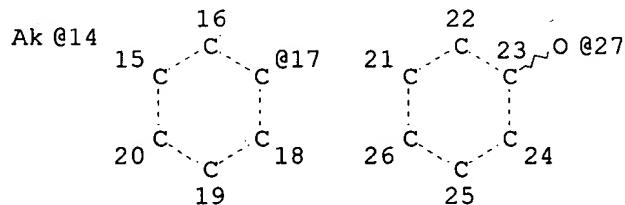
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

L23 105 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
L24 36 SEA FILE=HCAPLUS ABB=ON PLU=ON L23
L25 (7025704)SEA FILE=REGISTRY ABB=ON PLU=ON 46.150.18/RID AND NR>1 AND
NRS>1 AND N/ELS
L26 STR



VAR G1=H/14

VAR G3=17/27

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 8

CONNECT IS E2 RC AT 10

CONNECT IS E1 RC AT 14

DEFAULT MLEVEL IS ATOM

GGCAT IS LIN LOC AT 8

GGCAT IS LIN LOC AT 10

GGCAT IS LIN LOC SAT AT 14

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 15 21 4

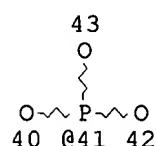
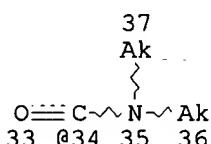
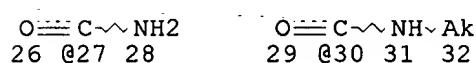
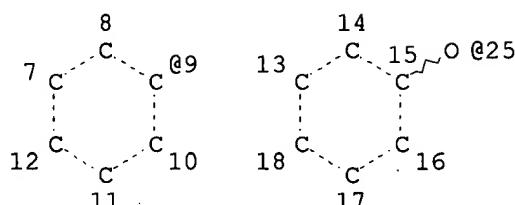
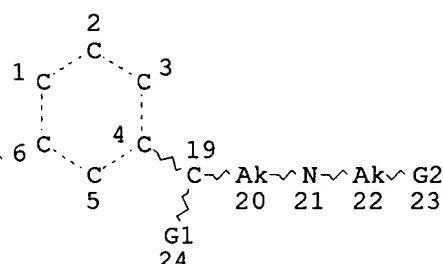
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L27 (252) SEA FILE=REGISTRY SUB=L25 SSS FUL L26

L28 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L27

L34 STR



VAR G1=9/25

VAR G2=27/30/34/41

NODE ATTRIBUTES:

CONNECT IS E3 RC AT 19

CONNECT IS E2 RC AT 20

CONNECT IS E2 RC AT 22

CONNECT IS E1 RC AT 32

CONNECT IS E1 RC AT 36

CONNECT IS E1 RC AT 37

DEFAULT MLEVEL IS ATOM

GGCAT IS LOC AT 20

GGCAT IS LOC AT 22

GGCAT IS LIN LOC SAT AT 32

09/757,011

February 12, 2002

GGCAT IS LIN LOC SAT AT 36
GGCAT IS LIN LOC SAT AT 37
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1 7 13
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE

L36 12 SEA FILE=REGISTRY SSS FUL L34
L37 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L36
L38 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L37 NOT (L28 OR L24)

L38 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 2001:155141 HCAPLUS

DN 134:353517

TI Solid-phase synthesis of .alpha.-hydroxy phosphonates and hydroxystatine amides. Transition-state isosteres derived from resin-bound amino acid aldehydes

AU Dolle, R. E.; Herpin, T. F.; Shimshock, Y. C.

CS Department of Chemistry, Pharmacopeia, Inc., Princeton, NJ, 08543-5350,
USA

SO Tetrahedron Lett. (2001), 42(10), 1855-1858

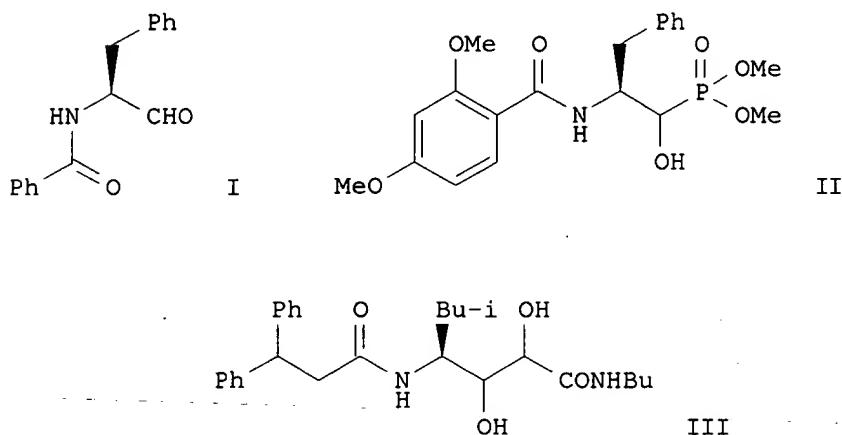
CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

GI



AB Resin-bound N-acylated amino acid aldehydes, e. g. I, were converted in a single step to .alpha.-hydroxy phosphonates, e. g. II, (Pudovik reaction) and in six-steps to hydroxystatine amides, e. g. III, demonstrating the utility of intermediates I for constructing multiple aspartic acid transition-state isosteres.

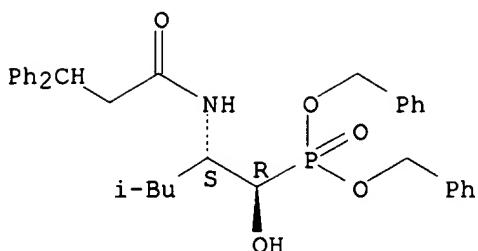
IT 338964-51-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase synthesis of hydroxy phosphonates and hydroxystatine amides from resin-bound amino acid aldehydes)

RN 338964-51-5 HCAPLUS

CN Phosphonic acid, [(1R,2S)-1-hydroxy-4-methyl-2-[(1-oxo-3,3-diphenylpropyl)amino]pentyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

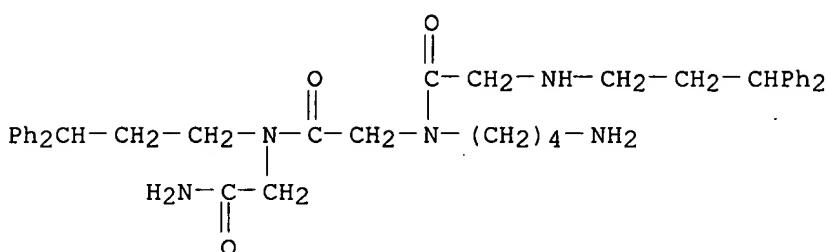
Absolute stereochemistry.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

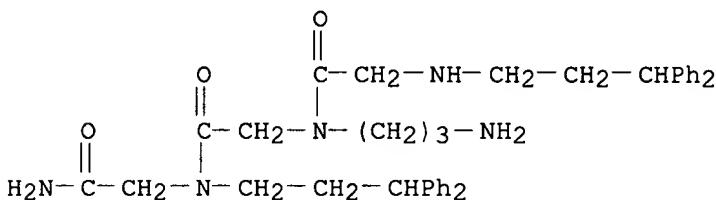
- L38 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1999:171303 HCAPLUS
 DN 131:19270
 TI A combinatorial peptoid library for the identification of novel MSH and GRP/bombesin receptor ligands
 AU Heizmann, G.; Hildebrand, P.; Tanner, H.; Ketterer, S.; Pansky, A.; Froidevaux, S.; Beglinger, C.; Eberle, A. N.
 CS Department of Research (ZLF), University Hospital and University Children's Hospital, Basel, CH-4031, Switz.
 SO J. Recept. Signal Transduction Res. (1999), 19(1-4), 449-466
 CODEN: JRETE; ISSN: 1079-9893
 PB Marcel Dekker, Inc.
 DT Journal
 LA English
 AB A tri-peptoid library was synthesized using 69 different primary amines in initially 69 individual reactions by the mix and split approach. The resulting library consisted of 328,509 (693) single compds., divided in 69 sub-pools each contg. 4,761 entities. The 69 sub-pools were tested in two binding assays, one for .alpha.-MSH (.alpha.-melanotropin) and one for GRP (gastrin-releasing peptide)/bombesin. The sub-libraries with the highest affinity to the MSH receptor (i.e. melanocortin type 1 or MC1 receptor) and, resp., the GRP-preferring bombesin receptor were identified by an iterative process. Individual tri-peptoids with good binding activity were re-synthesized, analyzed and their dissocn. consts. and biol. activity detd. The KD of the most potent MC1 receptor ligand was 1.58 .mu.mol/l and that of the GRP-preferring bombesin receptor 3.40 .mu.mol/l. Extension of this latter tri-peptoid by one residue at the N-terminus led to the identification of a tetra-peptoid structure whose KD value increased to 280 nmol/l. A similar increase in activity was not obsd. with the most potent MSH tri-peptoid ligand when extended by one residue, but a compd. suitable for radioiodination and lacking the N-terminal amino group had a slightly higher binding activity than the tri-peptoids (KD .apprxeq. 850 nmol/l). These results demonstrate that testing a peptoid library contg. 328,509 single compds. led to the successful identification of new ligands for both the MC1 receptor as well as the GRP-preferring bombesin receptor.
 IT 226218-34-4P 226218-36-6P 226218-37-7P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and biol. activity of as MSH and GRP/bombesin receptor ligands using combinatorial chem.)
 RN 226218-34-4 HCAPLUS
 CN Glycinamide, N-(3,3-diphenylpropyl)glycyl-N-(4-aminobutyl)glycyl-N2-(3,3-

diphenylpropyl)- (9CI) (CA INDEX NAME)



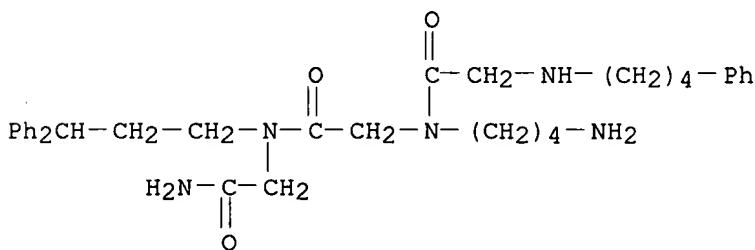
RN 226218-36-6 HCPLUS

CN Glycinamide, N-(3,3-diphenylpropyl)glycyl-N-(3-aminopropyl)glycyl-N2-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



RN 226218-37-7 HCPLUS

CN Glycinamide, N-(4-phenylbutyl)glycyl-N-(4-aminobutyl)glycyl-N2-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 3 OF 8 HCPLUS COPYRIGHT 2002 ACS

AN 1999:152312 HCPLUS

DN 130:196959

TI Solid-phase synthesis of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries

IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna

PA Chiron Corporation, USA

SO U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 277,228, abandoned.

CODEN: USXXAM

DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5877278	A	19990302	US 1995-487282	19950607
	JP 2000239242	A2	20000905	JP 2000-38885	19930924
	US 5831005	A	19981103	US 1995-441826	19950516
	US 5977301	A	19991102	US 1995-485106	19950607
	CA 2221517	AA	19961219	CA 1996-2221517	19960604
	WO 9640202	A1	19961219	WO 1996-US8832	19960604
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
	AU 9662534	A1	19961230	AU 1996-62534	19960604
	EP 789577	A1	19970820	EP 1996-921278	19960604
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 11507049	T2	19990622	JP 1996-501317	19960604
PRAI	US 1992-950853	B2	19920924		
	US 1993-126539	B2	19930924		
	US 1994-277228	B2	19940718		
	JP 1994-508459	A3	19930924		
	US 1995-487282	A	19950607		
	WO 1996-US8832	W	19960604		
AB	A solid-phase method for the synthesis of N-substituted oligomers, such as poly(N-substituted glycines) (referred to herein as poly NSGs) is used to obtain oligomers, such as poly NSGs of potential therapeutic interest which poly NSGs can have a wide variety of side chain substituents. Each N-substituted glycine monomer is assembled from two "sub-monomers" directly on the solid support. Each cycle of monomer addn. consists of two steps: (1) acylation of a secondary amine bound to the support with an acylating agent comprising a leaving group capable of nucleophilic displacement by NH ₂ , such as a haloacetic acid, and (2) introduction of the side chain by nucleophilic displacement of the leaving group, such as halogen (as a solid support-bound .alpha.-haloacetamide) with a sufficient amt. of a second sub-monomer comprising an NH ₂ group, such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate, or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. The efficient synthesis of a wide variety of oligomeric NSGs using automated synthesis technol. of the present method makes these oligomers attractive candidates for the generation and rapid screening of diverse peptidomimetic libraries. The oligomers of the invention, such as N-substituted glycines (i.e. poly NSGs) disclosed here provide a new class of peptide-like compds. not found in nature, but which are synthetically accessible and have been shown to possess significant biol. activity and proteolytic stability. Combinatorial libraries of cyclic compds. are disclosed wherein the cyclic compds. are comprised of at least one ring structure derived from cyclization of a peptoid backbone. The diversity of product compds. is generated by the sequential addn. of substituted submonomers. The combinatorial library includes 10 or more, preferably 100 or more, and more preferably 1,000 or more distinct and different compds. The library includes each of the product				

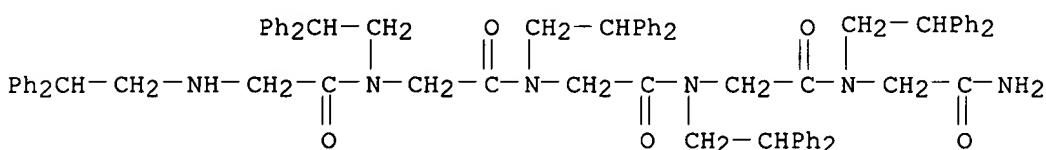
compds. in retrievable and analyzable amts. and preferably includes at least one biol. active compd. Methods of synthesizing the combinatorial libraries and assay devices produced using the libraries are disclosed, as is methodol. for screening for and obtaining biol. active cyclic org. compds.

IT 145251-26-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase prepn. of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

RN 145251-26-9 HCAPLUS

CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS

AN 1997:151532 HCAPLUS

DN 126:157822

TI Synthesis of N-substituted oligomers as therapeutic agents

IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna

PA Chiron Corporation, USA

SO PCT Int. Appl., 175 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640202	A1	19961219	WO 1996-US8832	19960604
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
	US 5877278	A	19990302	US 1995-487282	19950607
	AU 9662534	A1	19961230	AU 1996-62534	19960604
	EP 789577	A1	19970820	EP 1996-921278	19960604
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 11507049	T2	19990622	JP 1996-501317	19960604
PRAI	US 1995-487282	A	19950607		
	US 1992-950853	B2	19920924		
	US 1993-126539	B2	19930924		
	US 1994-277228	B2	19940718		

WO 1996-US8832 W 19960604

AB The title process comprises a solid-phase method for synthesis of N-substituted oligomers, e.g., poly(N-substituted glycines) having a wide variety of side-chain substituents, to obtain compds. of potential therapeutic interest. Each N-substituted glycine monomer is assembled from two sub-monomers directly on the solid support. Each cycle of monomer addn. consists of two steps: (1) acylation of a support-bound amine with an acylating agent contg. a group capable of nucleophilic displacement by -NH₂, such as a haloacetic acid, and (2) introduction of the side-chain by nucleophilic displacement of the leaving group with a second submonomer such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. Combinatorial libraries are disclosed.

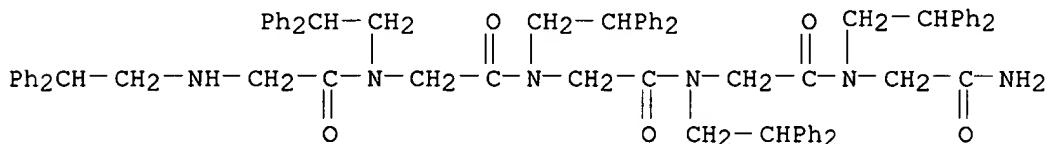
IT 145251-26-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of N-substituted oligomers as therapeutic agents)

RN 145251-26-9 HCPLUS

CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 5 OF 8 HCPLUS COPYRIGHT 2002 ACS

AN 1995:346685 HCPLUS

DN 122:133845

TI Synthesis of N-substituted oligomers (polyglycines).

IN Zuckermann, Ronald N.; Kerr, Janice M.; Kent, Stephen Brian Henry; Moos, Walter H.; Simon, Reyna J.; Goff, Dane A.

PA Chiron Corp., USA

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

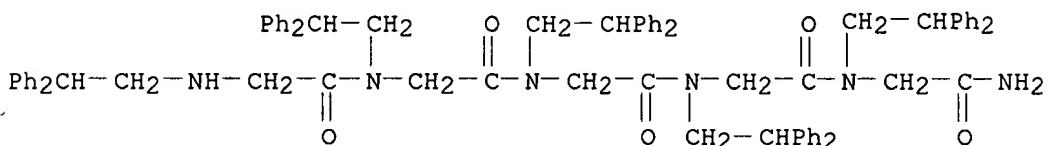
DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9406451	A1	19940331	WO 1993-US9117	19930924
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 671928	A1	19950920	EP 1993-923131	19930924
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08501565	T2	19960220	JP 1993-508459	19930924
	HU 72614	A2	19960528	HU 1995-855	19930924

AU 679945 B2 19970717 AU 1993-52920 19930924
 BR 9307092 A 19990330 BR 1993-7092 19930924
 JP 2000239242 A2 20000905 JP 2000-38885 19930924
 NO 9500682 A 19950418 NO 1995-682 19950223
 FI 9501356 A 19950426 FI 1995-1356 19950322
 PRAI US 1992-950853 A 19920924
 JP 1994-508459 A3 19930924
 WO 1993-US9117 W 19930924
 OS MARPAT 122:133845
 AB (N-substituted polyamide) monomers were prepd. by (1) acylating an amine bound to a substrate with a sub-monomer acylating agent contg. a leaving group to obtain a substrate-bound acylated amine having a leaving group, and (2) reaction of the latter with a second sub-monomer displacing agent contg. an amino group to carry out nucleophilic displacement of the leaving group added during acylation. Repetition of the process affords e.g. oligomeric N-substituted glycines (NSGs) having significant biol. activity and proteolytic stability. Automated synthesis technol. makes the oligomers attractive for the generation and rapid screening of diverse peptidomimetic libraries. Thus, penta(N-phenylglycine)amide was prepd. using an automated synthesizer in 83% yield using Rink amide polystyrene resin, PhNH₂, and ICH₂CO₂H. Acylation reactions were carried out using diisopropylcarbodiimide in DMF; displacement reactions were carried out in Me₂SO. Title compds. are claimed for use in diagnosis and therapy, specifically in antisense treatment.
 IT 145251-26-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, by sub-monomer method)
 RN 145251-26-9 HCAPLUS
 CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS
AN 1993:39399 HCAPLUS
DN 118:39399
TI Efficient method for the preparation of peptoids [oligo(N-substituted glycines)] by submonomer solid-phase synthesis
AU Zuckermann, Ronald N.; Kerr, Janice M.; Kent, Stephen B. H.; Moos, Walter H.
CS Chiron Corp., Emeryville, CA, 94608, USA
SO J. Am. Chem. Soc. (1992), 114(26), 10646-7
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
AB An efficient solid-phase method is presented here for the synthesis of oligomeric N-substituted glycines, or "peptoids", a recently described new class of mols. with potential for drug development. In this method, each N-substituted glycine (NSG) monomer is assembled from two "submonomers" in

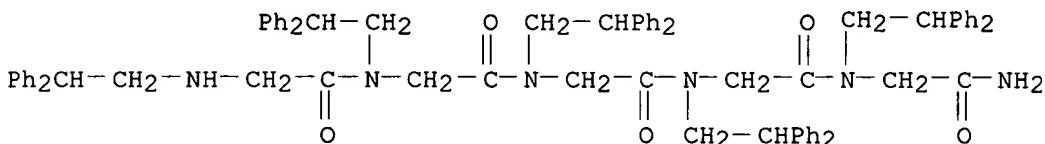
the course of extending the oligomer chain. Each cycle of chain extension consists of two steps: acylation of a resin-bound secondary amine with a haloacetic acid, followed by introduction of the side-chain by nucleophilic displacement of the halogen (as a resin-bound .alpha.-haloacetamide) with an excess of primary amine. The method is general for a wide variety of side-chain substituents. Eight pentamers and one 25 mer oligo-NSGs were successfully synthesized by this method. The efficient synthesis of a wide variety of oligomeric NSGs using robotic synthesis technol., as presented here, makes these polymers attractive candidates for the generation and rapid screening of diverse peptidomimetic libraries.

IT 145251-26-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by submonomer solid-phase synthesis)

RN 145251-26-9 HCPLUS

CN Glycinamide, N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N-(2,2-diphenylethyl)glycyl-N2-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 7 OF 8 HCPLUS COPYRIGHT 2002 ACS

AN 1982:35710 HCPLUS

DN 96:35710

TI Glycinamides

IN Van Dorsser, William; Martens, Mark; Gillet, Claude; Niebes, Paul;
Roncucci, Romeo; Roba, Joseph; Cordi, Alexis; Lambelin, Georges

PA Continental Pharma, Belg.

SO Belg., 57 pp.

CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

PI BE 885303 A1 19810319 BE 1980-202158 19800919

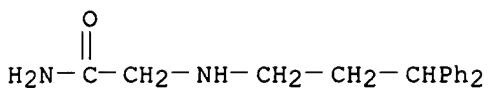
AB RR1NCHR2CONR3R4 (R = optionally alkyl, alkenyl, alkynyl, acyl; R1 = H, alkyl, acyl, alkoxy carbonyl, H2NCOCH2; R2 = H, alkyl, Ph; R3 = H, alkyl, Ph, halophenyl; R4 = H, alkyl) were prep'd. Thus, Me(CH2)17NH2 was treated with ClCH2CONH2 to give Me(CH2)17NHCH2CONH2 which was anticonvulsant against bicucullin-induced convulsion in mice at 10-100 mg/kg orally.

IT 76991-05-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticonvulsant activity of)

RN 76991-05-4 HCPLUS

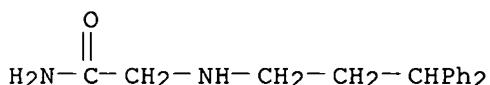
CN Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)



L38 ANSWER 8 OF 8 HCPLUS COPYRIGHT 2002 ACS
 AN 1981:139256 HCPLUS
 DN 94:139256
 TI Glycinamide derivatives and their use
 IN Roncucci, Romeo; Gillet, Claude; Cordi, Alexis; Martens, Mark; Roba, Joseph; Niebes, Paul; Lambelin, Georges; Van Dorsser, William
 PA Continental Pharma, Belg.
 SO Ger. Offen., 89 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3010599	A1	19801009	DE 1980-3010599	19800320
	DE 3010599	C2	19890302		
	DE 3050800	C2	19890622	DE 1980-3050800	19800320
	DK 8001235	A	19800923	DK 1980-1235	19800321
	DK 162714	B	19911202		
	DK 162714	C	19920421		
	SE 8002204	A	19800923	SE 1980-2204	19800321
	SE 453917	B	19880314		
	SE 453917	C	19880623		
	FI 8000900	A	19800923	FI 1980-900	19800321
	FI 82033	B	19900928		
	FI 82033	C	19910110		
	NO 8000830	A	19800923	NO 1980-830	19800321
	NO 157817	B	19880215		
	NO 157817	C	19880525		
	FR 2451913	A1	19801017	FR 1980-6390	19800321
	FR 2451913	B1	19840713		
	ES 490536	A1	19810416	ES 1980-490536	19800321
	ZA 8001682	A	19810826	ZA 1980-1682	19800321
	CH 645091	A	19840914	CH 1980-2253	19800321
	IL 59679	A1	19841130	IL 1980-59679	19800321
	AT 8001546	A	19860215	AT 1980-1546	19800321
	AT 381302	B	19860925		
	JP 55143944	A2	19801110	JP 1980-36806	19800322
	JP 63009491	B4	19880229		
	NL 8001721	A	19800924	NL 1980-1721	19800324
	NL 191508	B	19950418		
	NL 191508	C	19950821		
	AU 8056784	A1	19800925	AU 1980-56784	19800324
	AU 536499	B2	19840510		
	GB 2048852	A	19801217	GB 1980-9801	19800324
	GB 2048852	B2	19830330		
	CA 1184567	A1	19850326	CA 1980-348319	19800324
	AT 8402750	A	19900215	AT 1984-2750	19840828
	AT 391134	B	19900827		
	AT 8402751	A	19900815	AT 1984-2751	19840828
	AT 392271	B	19910225		

	US 4639468	A 19870127	US 1985-768185	19850823
PRAI	LU 1979-81068	19790322		
	LU 1979-81069	19790322		
	AT 1980-1546	19800321		
	US 1980-133102	19800324		
	US 1983-485756	19830421		
AB	The amides RNR1CHR2CONR3R4 [I, R = C9-18 alkyl, C5-18 alkenyl, C4-10 alkynyl, C4-10 acyl, C1-10 hydroxyalkyl, alkoxy carbonylalkyl, acetoxyalkyl, carboxyalkyl, phenoxyalkyl, (un)substituted phenylalkyl; R1 = H, C1-10 alkyl, C1-6 acyl, Bz, C1-4 alkoxy carbonyl, carboxamidomethyl; R2 = H, C1-3 alkyl, Ph; R3 = H, C1-8 alkyl, halophenyl; R4 = H, C1-8 alkyl] were prep'd. Thus, Me(CH ₂) ₁₇ NH ₂ was treated with ClCH ₂ CONH ₂ to give Me(CH ₂) ₁₇ NHCH ₂ CONH ₂ . I were tested for anticonvulsant activity in mice with bicuculline induced convulsions. The anticonvulsant ED ₅₀ of Me(CH ₂) ₄ NHCH ₂ CONH ₂ in mice was 11.2 mg/kg.			
IT	76991-05-4P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and anticonvulsant activity of)			
RN	76991-05-4 HCPLUS			
CN	Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)			



=> d que

L3	71149	SEA FILE=REGISTRY ABB=ON	PLU=ON	1839.6/RID
L7	12480	SEA FILE=REGISTRY ABB=ON	PLU=ON	3068.4/RID
L10	24196	SEA FILE=REGISTRY ABB=ON	PLU=ON	2508.272/RID
L17	5723	SEA FILE=REGISTRY ABB=ON	PLU=ON	3068.33/RID
L19	5124	SEA FILE=REGISTRY ABB=ON	PLU=ON	3691.3/RID
L20	118398	SEA FILE=REGISTRY ABB=ON	PLU=ON	L3 OR L7 OR L10 OR L17 OR L19
L21		STR		

7

O

|||

Cy~Ak~N~Ak~C~O
1 2 3 4 5 6

NODE ATTRIBUTES:

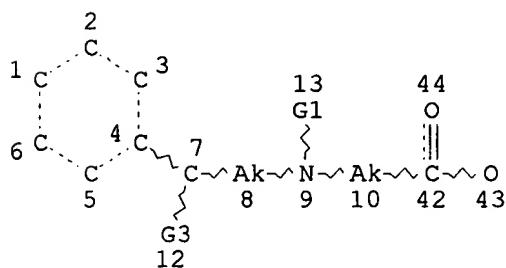
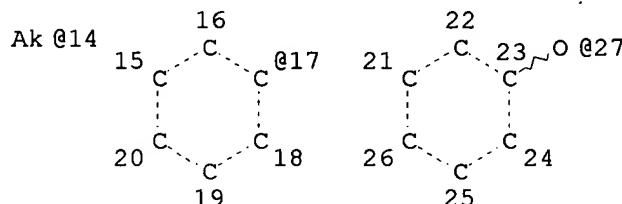
CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 4
 DEFAULT MLEVEL IS ATOM
 GGCAT IS PCY UNS AT 1
 GGCAT IS LOC AT 2
 GGCAT IS LOC AT 4
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE

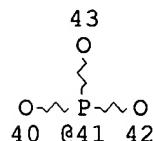
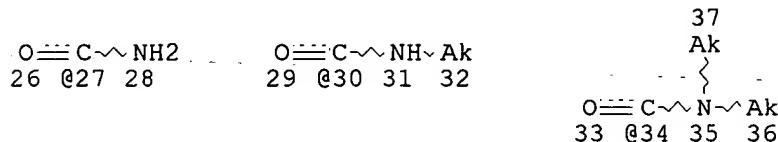
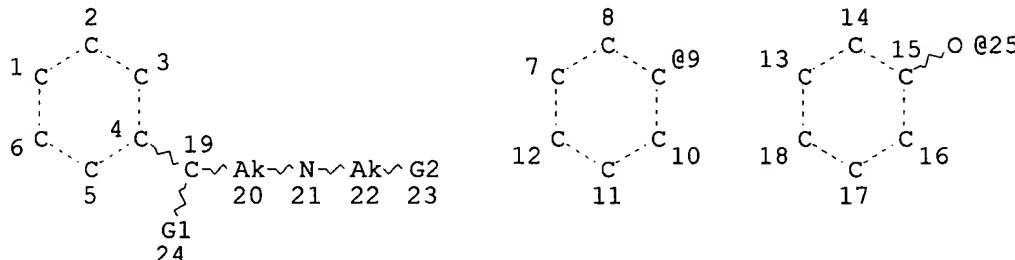
L23 105 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
 L24 - - - 36 SEA FILE=HCAPLUS ABB=ON PLU=ON L23
 L25 (7025704) SEA FILE=REGISTRY ABB=ON PLU=ON 46.150.18/RID AND NR>1 AND
 NRS>1 AND N/ELS
 L26 STR



VAR G3=17/27
 NODE ATTRIBUTES:
 CONNECT IS E2 RC AT 8
 CONNECT IS E2 RC AT 10
 CONNECT IS E1 RC AT 14
 DEFAULT MLEVEL IS ATOM
 GGCAT IS LIN LOC AT 8
 GGCAT IS LIN LOC AT 10
 GGCAT IS LIN LOC SAT AT 14
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 15 21 4
 NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
 L27 (252) SEA FILE=REGISTRY SUB=L25 SSS FUL L26
 L28 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
 L34 STR



VAR G1=9/25
 VAR G2=27/30/34/41
 NODE ATTRIBUTES:
 CONNECT IS E3 RC AT 19
 CONNECT IS E2 RC AT 20
 CONNECT IS E2 RC AT 22
 CONNECT IS E1 RC AT 32
 CONNECT IS E1 RC AT 36
 CONNECT IS E1 RC AT 37
 DEFAULT MLEVEL IS ATOM
 GGCAT IS LOC AT 20
 GGCAT IS LOC AT 22
 GGCAT IS LIN LOC SAT AT 32
 GGCAT IS LIN LOC SAT AT 36

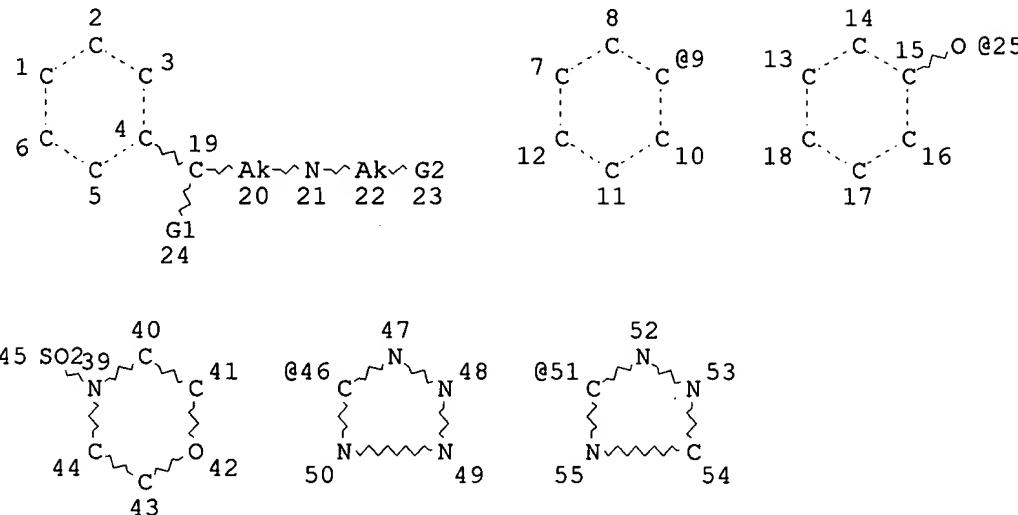
09/757,011

February 12, 2002

GGCAT IS LIN LOC SAT AT 37
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 7 13
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L36 12 SEA FILE=REGISTRY SSS FUL L34
L37 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L36
L41 STR



VAR G1=9/25
VAR G2=45/46/51
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 20
CONNECT IS E2 RC AT 22
DEFAULT MLEVEL IS ATOM
GGCAT IS LOC AT 20
GGCAT IS LOC AT 22
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 7 13 39 46 51
NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
L43 10 SEA FILE=REGISTRY SSS FUL L41
L44 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L43
L45 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L44 NOT (L24 OR L28 OR L37)

L45 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
 AN 2001:380562 HCAPLUS
 DN 134:366881
 TI Preparation of triazoles as farnesyl transferase inhibitors
 IN Saha, Ashis Kumar; End, David William; De Corte, Bart Lieven Daniel;
 Breslin, Henry Joseph; Liu, Li
 PA Janssen Pharmaceutica N.V., Belg.
 SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

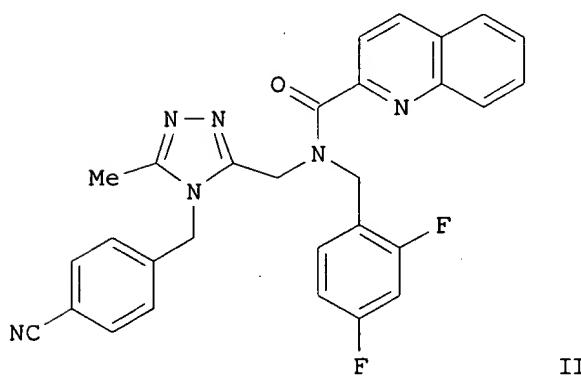
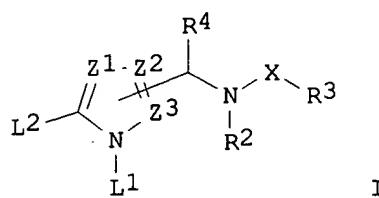
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036395	A1	20010525	WO 2000-EP11393	20001115
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 1999-165434 P 19991115

OS MARPAT 134:366881

GI



AB The title compds. [I; L1, L2 = YR1; R1 = H, CN, aryl, (un)substituted heterocyclyl; Z1Z2:Z3 = NN:CH, NCH:N, CHN:N; X = SO₂, (CH₂)_n (n = 1-4), CO, etc.; R2 = aryl, (un)substituted cycloalkyl, etc.; R3 = aryl, NR₅R₆, (un)substituted heterocyclyl, etc.; R4 = H, aryl, cycloalkyl, etc.; R₅, R₆ = H, (un)substituted heterocyclyl, aryl, etc.] and their N-oxides, addn. salts, quaternary amines which are useful as novel class of peptidomimetic FTPase inhibitors and also show antiviral activity against RSV, were prepd. E.g., a 4-step synthesis of the triazole II which showed an inhibition of FTPase activity of at least 10% at 10⁻⁷ M, was given.

IT 340729-10-4P 340729-24-0P 340729-26-2P

340731-20-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazoles as farnesyl transferase inhibitors)

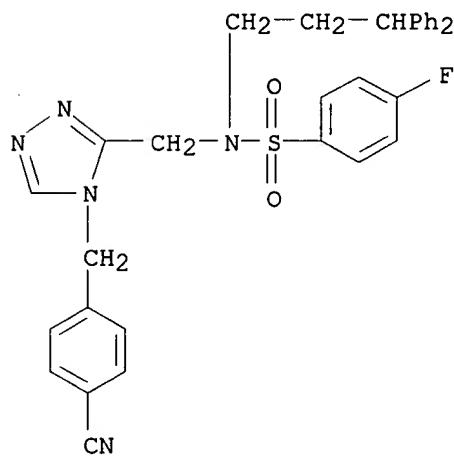
RN 340729-10-4 HCPLUS

CN Benzenesulfonamide, N-[[4-[(4-cyanophenyl)methyl]-4H-1,2,4-triazol-3-yl]methyl]-N-(3,3-diphenylpropyl)-4-fluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 340729-09-1

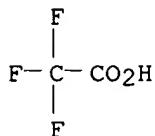
CMF C32 H28 F N5 O2 S

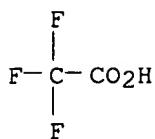


CM 2

CRN 76-05-1

CMF C2 H F3 O2





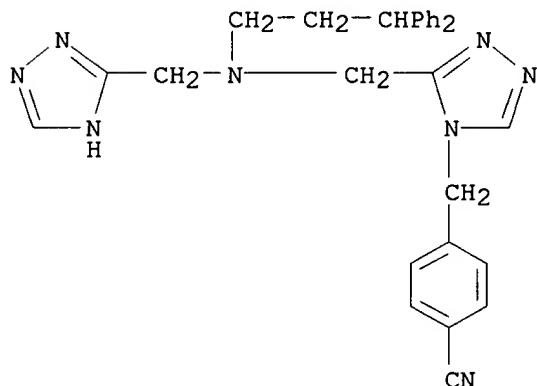
RN 340729-24-0 HCPLUS

CN Benzonitrile, 4-[[3-[(3,3-diphenylpropyl)(1H-1,2,4-triazol-3-ylmethyl)amino]methyl]-4H-1,2,4-triazol-4-yl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 340729-23-9

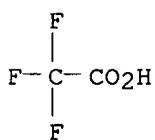
CMF C29 H28 N8



CM 2

CRN 76-05-1

CMF C2 H F3 O2



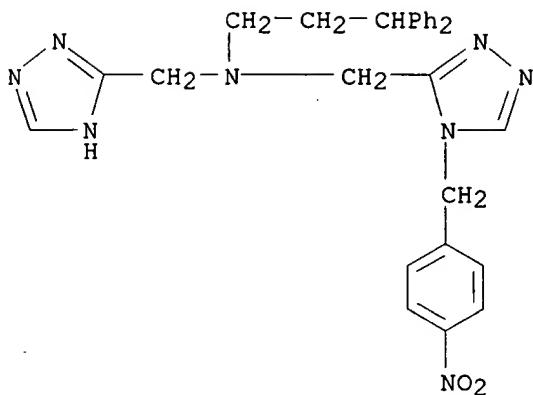
RN 340729-26-2 HCPLUS

CN 1H-1,2,4-Triazole-3-methanamine, N-(3,3-diphenylpropyl)-N-[[4-[(4-nitrophenyl)methyl]-4H-1,2,4-triazol-3-yl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 340729-25-1

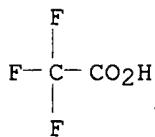
CMF C28 H28 N8 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



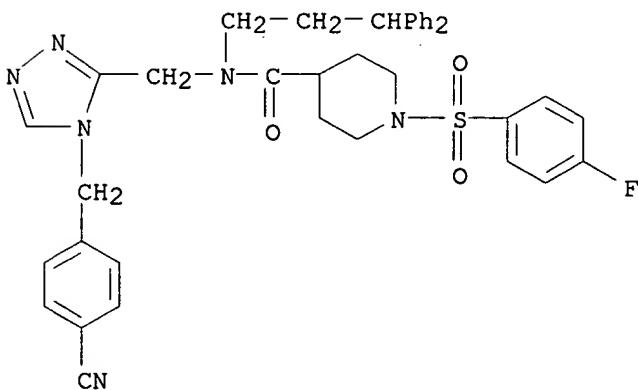
RN 340731-20-6 HCPLUS

CN 4-Piperidinecarboxamide, N-[(4-[(4-cyanophenyl)methyl]-4H-1,2,4-triazol-3-yl)methyl]-N-(3,3-diphenylpropyl)-1-[(4-fluorophenyl)sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

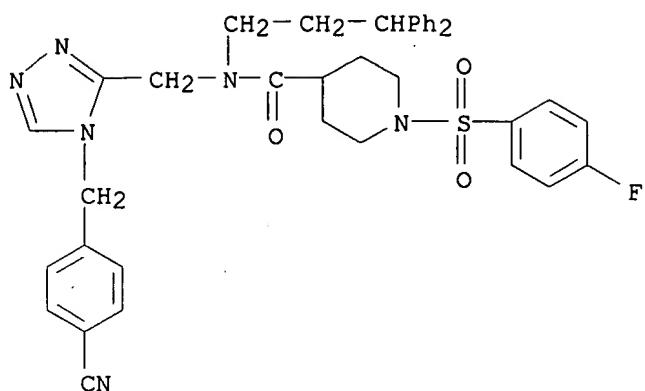
CRN 340731-19-3

CMF C38 H37 F N6 O3 S



09/757,011

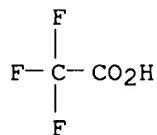
February 12, 2002



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d que

```
L3      71149 SEA FILE=REGISTRY ABB=ON PLU=ON 1839.6/RID
L7      12480 SEA FILE=REGISTRY ABB=ON PLU=ON 3068.4/RID
L10     24196 SEA FILE=REGISTRY ABB=ON PLU=ON 2508.272/RID
L17     5723 SEA FILE=REGISTRY ABB=ON PLU=ON 3068.33/RID
L19     5124 SEA FILE=REGISTRY ABB=ON PLU=ON 3691.3/RID
L20     118398 SEA FILE=REGISTRY ABB=ON PLU=ON L3 OR L7 OR L10 OR L17 OR
          L19
L21     STR
```

7

O

||

Cy~Ak~N~Ak~C~O
 1 2 3 4 5 6

NODE ATTRIBUTES:

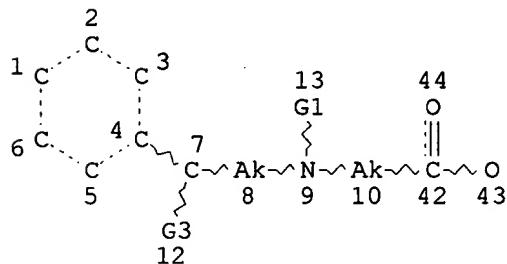
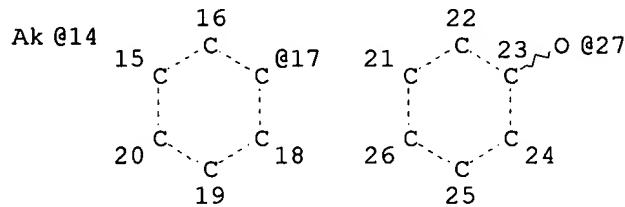
```
CONNECT IS E2 RC AT 2
CONNECT IS E2 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY UNS AT 1
GGCAT IS LOC AT 2
GGCAT IS LOC AT 4
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

```
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7
```

STEREO ATTRIBUTES: NONE

```
L23      105 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
L24      36 SEA FILE=HCAPLUS ABB=ON PLU=ON L23
L25 ( 7025704)SEA FILE=REGISTRY ABB=ON PLU=ON 46.150.18/RID AND NR>1 AND
          NRS>1 AND N/ELS
L26     STR
```



VAR G1=H/14

VAR G3=17/27

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 8

CONNECT IS E2 RC AT 10

CONNECT IS E1 RC AT 14

DEFAULT MLEVEL IS ATOM

GGCAT IS LIN LOC AT 8

GGCAT IS LIN LOC AT 10

GGCAT IS LIN LOC SAT AT 14

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 15 21 4

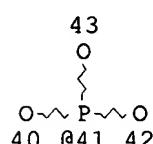
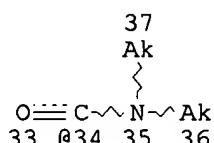
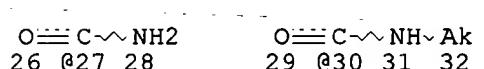
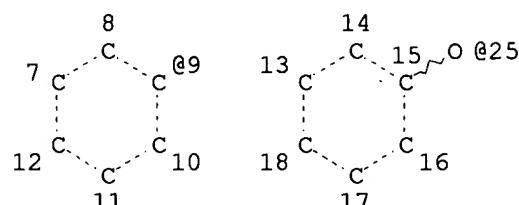
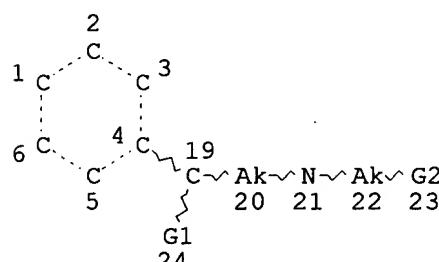
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L27 (252) SEA FILE=REGISTRY SUB=L25 SSS FUL L26

L28 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L27

L34 STR



VAR G1=9/25

VAR G2=27/30/34/41

NODE ATTRIBUTES:

CONNECT IS E3 RC AT 19

CONNECT IS E2 RC AT 20

CONNECT IS E2 RC AT 22

CONNECT IS E1 RC AT 32

CONNECT IS E1 RC AT 36

CONNECT IS E1 RC AT 37

DEFAULT MLEVEL IS ATOM

GGCAT IS LOC AT 20

GGCAT IS LOC AT 22

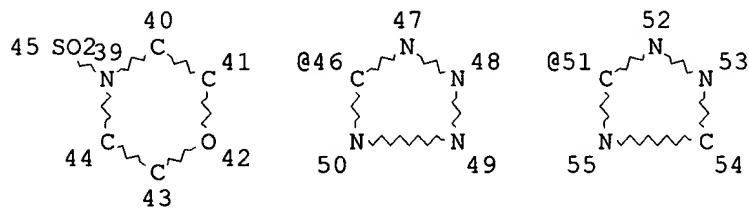
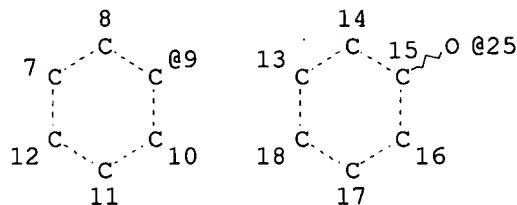
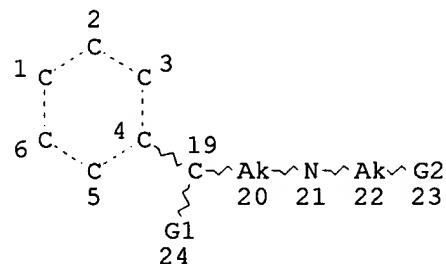
GGCAT IS LIN LOC SAT AT 32

GGCAT IS LIN LOC SAT AT 36
 GGCAT IS LIN LOC SAT AT 37
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 1 7 13
 NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE

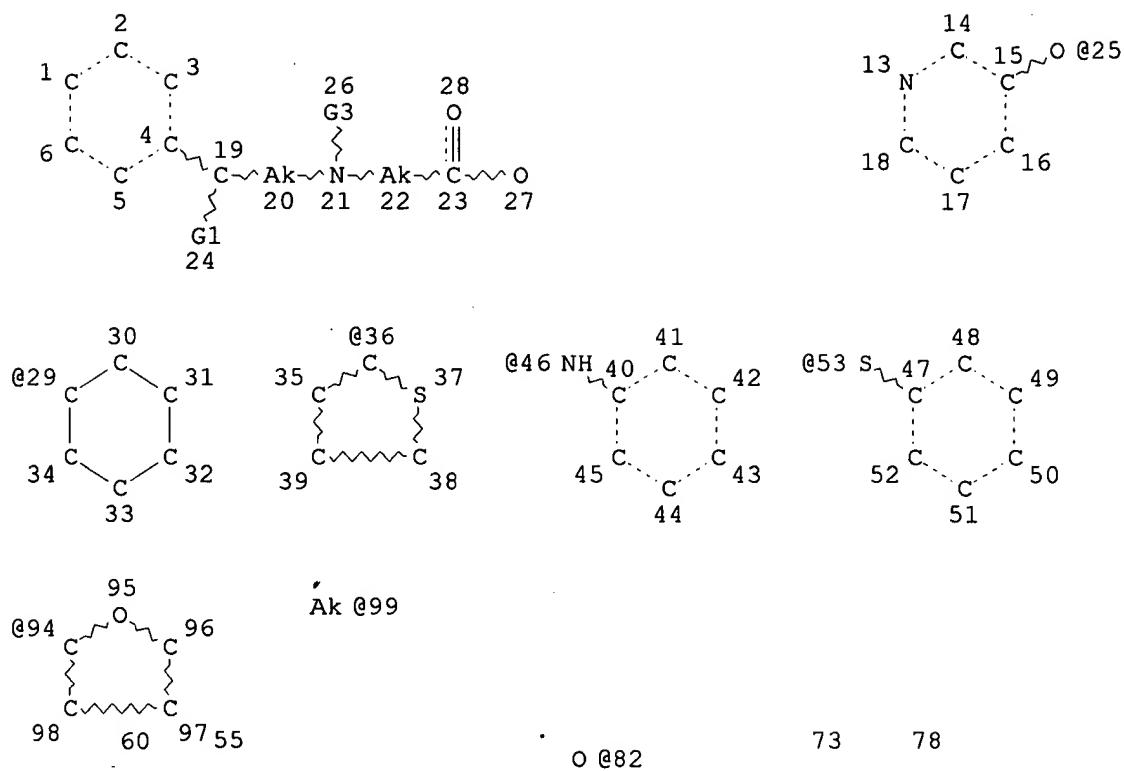
L36 12 SEA FILE=REGISTRY SSS FUL L34
 L37 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L36
 L41 STR



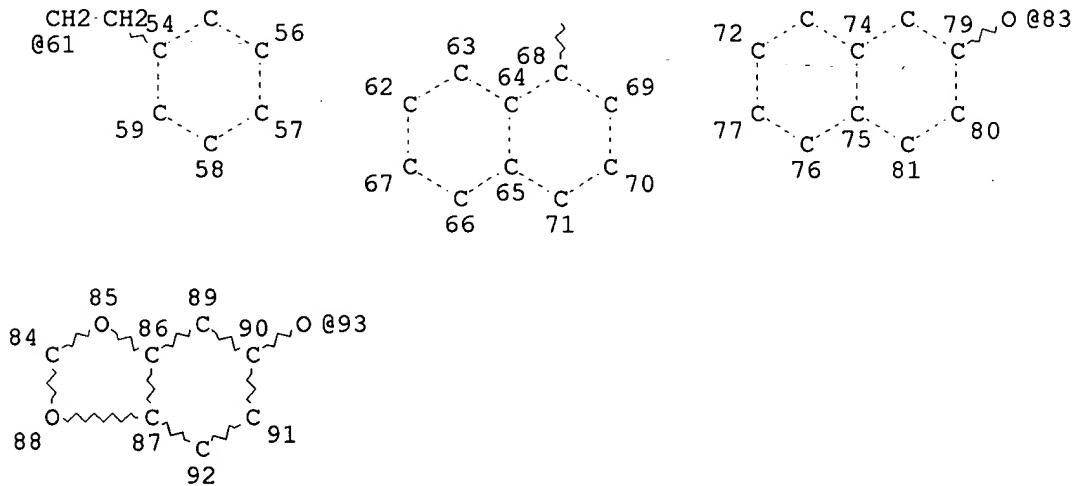
VAR G1=9/25
 VAR G2=45/46/51
 NODE ATTRIBUTES:
 CONNECT IS E2 RC AT 20
 CONNECT IS E2 RC AT 22
 DEFAULT MLEVEL IS ATOM
 GGCAT IS LOC AT 20
 GGCAT IS LOC AT 22
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 1 7 13 39 46 51
 NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
 L43 10 SEA FILE=REGISTRY SSS FUL L41
 L44 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L43
 L55 STR



Page 1-A



Page 2-A

VAR G1=94/93/83/82/61/53/29/46/25/36

VAR G3=H/99

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 20

CONNECT IS E2 RC AT 22

CONNECT IS E1 RC AT 99

DEFAULT MLEVEL IS ATOM

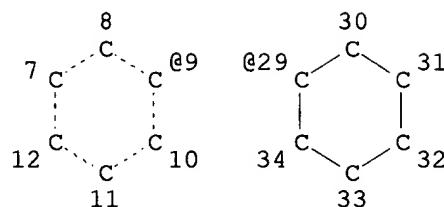
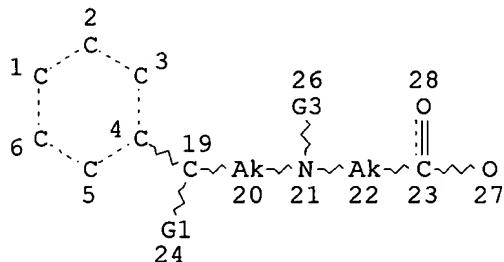
GGCAT IS LOC AT 20
 GGCAT IS LOC AT 22
 GGCAT IS LIN LOC SAT AT 99
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1 13 29 35 40 47 62 72 84 94
 NUMBER OF NODES IS 93

STEREO ATTRIBUTES: NONE

L57 37 SEA FILE=REGISTRY SSS FUL L55
 L58 STR



Ak @

Page 1-A

99

Page 1-B

VAR G1=9/29

VAR G3=H/99

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 20
 CONNECT IS E2 RC AT 22
 CONNECT IS E1 RC AT 99
 DEFAULT MLEVEL IS ATOM
 GGCAT IS LOC AT 20
 GGCAT IS LOC AT 22
 GGCAT IS LIN LOC SAT AT 99
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

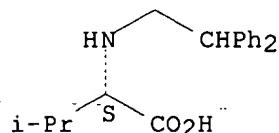
RSPEC 1 7 29
 NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L60 85 SEA FILE=REGISTRY SSS FUL L58
 L61 120 SEA FILE=REGISTRY ABB=ON PLU=ON L60 OR L57
 L62 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L61
 L63 9 SEA FILE=HCAPLUS ABB=ON PLU=ON L62 NOT (L44 OR L24 OR L28 OR L37)

L63 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2002 ACS
 AN 2000:364688 HCAPLUS
 DN 133:164289
 TI Utilization of Fukuyama's sulfonamide protecting group for the synthesis of N-substituted .alpha.-amino acids and derivatives
 AU Lin, Xiaodong; Dorr, Hilary; Nuss, John M.
 CS Chiron Corporation, Emeryville, CA, 94608, USA
 SO Tetrahedron Letters (2000), 41(18), 3309-3313
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 133:164289
 AB A novel and general route for the solid phase synthesis of N-substituted .alpha.-amino acids has been developed. This synthesis employs Fukuyama's 2-nitrobenzenesulfonamide protecting group for prepn. of secondary amines. The versatility of this methodol. is demonstrated by the facile synthesis of a trisubstituted diketopiperazine (DKP) skeleton.
 IT 287918-79-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of N-substituted .alpha.-amino acids and derivs. using Fukuyama's sulfonamide protecting group)
 RN 287918-79-0 HCAPLUS
 CN L-Valine, N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2002 ACS
 AN 1998:396972 HCAPLUS
 DN 129:136069
 TI Asymmetric N-(3,3-diphenylpropyl)aminoalkyl esters of 4-aryl-2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylic acids with antihypertensive activity
 AU Leonardi, Amedeo; Motta, Gianni; Pennini, Renzo; Testa, Rodolfo; Sironi, Giorgio; Catto, Alberto; Cerri, Alberto; Zappa, Marco; Bianchi, Giorgio; Nardi, Dante
 CS Pharmaceutical R&D Division, Medicinal Chemistry Department, Recordati S.p.A., Milan, 20148, Italy
 SO Eur. J. Med. Chem. (1998), 33(5), 399-420
 CODEN: EJMCA5; ISSN: 0223-5234
 PB Editions Scientifiques et Medicinales Elsevier
 DT Journal
 LA English
 AB A series of asym. 4-aryl-1,4-dihydropyridine-3,5-dicarboxylates characterized by the presence of a 3,3-diphenylpropylamino moiety in one of the ester groups were synthesized. They exhibited remarkable antihypertensive activity in spontaneously hypertensive rats as well as

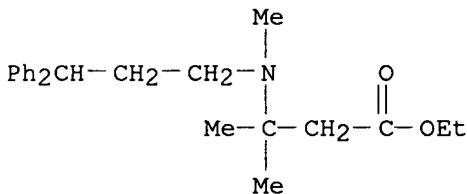
affinity for the 1,4-dihydropyridines binding site labeled by 3H-nitrendipine in the calcium channel. Introduction of this bulky and lipophilic amine confers to the whole series an elevated level of antihypertensive activity and a long duration of action, a structure-dependent modulation of the activity being found only in the subset characterized by the presence of a branched propylene bridge between the ester and the amino groups. The presence of the amino group is essential for oral activity. Out of this series, Rec 15/2375-lercanidipine was selected for clin. development and obtained marketing authorization as an antihypertensive in several countries.

IT 210579-43-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and antihypertensive activity of (diphenylpropyl)aminoalkyl esters of arylidimethyldihydropyridinedicarboxylic acids)

RN 210579-43-4 HCAPLUS

CN Butanoic acid, 3-[(3,3-diphenylpropyl)methylamino]-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L63 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2002 ACS

AN 1997:542420 HCAPLUS

DN 127:220648

TI Preparation of cyclic amic acid derivatives as protein-farnesyl transferase (PFT) inhibitors

IN Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

PA Banyu Pharmaceutical Co., Ltd., Japan; Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

SO PCT Int. Appl., 194 pp.

CODEN: PIXXD2

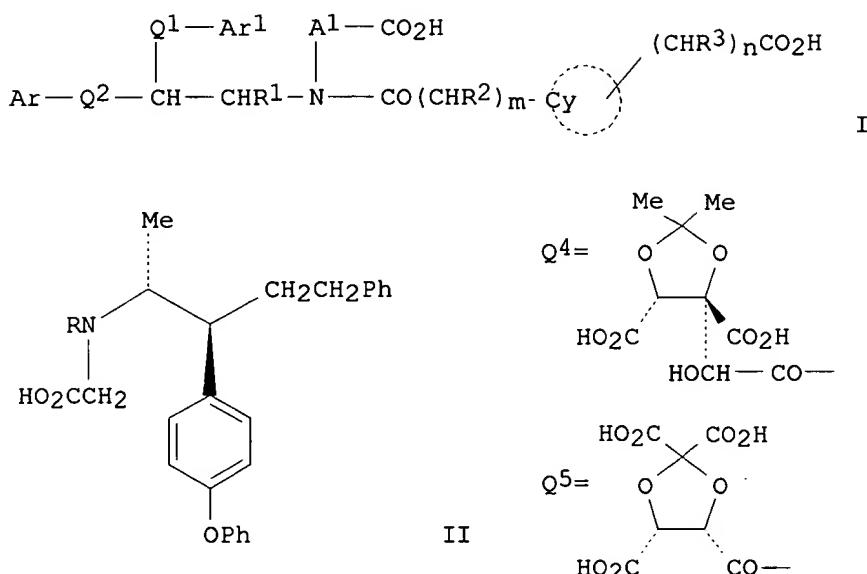
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9729078	A1	19970814	WO 1997-JP303	19970207
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA	2244695	AA	19970814	CA 1997-2244695	19970207
AU	9716191	A1	19970828	AU 1997-16191	19970207
EP	882703	A1	19981209	EP 1997-902605	19970207

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI
 US 6011174 A 20000104 US 1998-117534 19980804
 PRAI JP 1996-45500 19960207
 JP 1996-206673 19960717
 WO 1997-JP303 19970207
 OS MARPAT 127:220648
 GI



AB Compds. represented by general formula [I; Ar1 = aryl or heteroaryl; Ar = Ar3-Q3-Ar2-, Ar2; wherein Ar2, Ar3 = aryl, heteroaryl; Q3 = a single bond, oxygen, sulfur, methylene, vinylene, or a group represented by CO, NH, CO2, O2C, CH2CH2, OCH2, SCH2, CH2O, CH2S, NHCO, or CONH; Cy = aryl, heteroaryl, or an alicyclic group optionally having one or two oxygen atoms; A1 = C1-4 hydrocarbyl; Q1 = a single bond, a group represented by CH2O, OCH2, CH2S, or SCH2, or C1-6 hydrocarbyl; Q2 = a single bond or a group represented by (CH2)1 or -(CH2)q-W-(CH2)p; R1 = lower alkyl; wherein l = an integer of 1 to 6; p, q = an integer of 0 to 3; R2, R3 = H, OH, or lower alkyl; W = oxygen, sulfur, vinylene, or ethynylene; m = an integer of 0 to 2; n = 0 or 1] or pharmacol. acceptable salts or esters thereof, which inhibits functional expression of cancer gene Ras protein by inhibiting PFT in vivo and exhibit antitumor activity, are prepd. An antitumor agent comprising these compds. as the active ingredients is claimed. These compds. also inhibit transfection of ras and thereby reactivation of HIV gene incorporated into host cells and are also useful as anti-HIV agents. Thus, N-(methoxycarbonylmethyl)-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]amine (prepn. given) was condensed with di-Me 2-(1-acetoxycarboxymethyl)-2,3-O-isopropylidene-L-tartrate (prepn. given) using 2-chloro-1,3-dimethylimidazolium chloride in the presence of Et3N in CHCl3 at room temp. for 4 h followed by sapon. with a mixt. of 1 N aq. NaOH and THF to give the title compd. (II.3Na; R = Q4). II.3Na (R =

Q4) and II (R = Q5) showed IC₅₀ of 0.16 and 0.075 nM, resp., against PFT and IC₅₀ of 0.24 and 2.0 .μ.M, resp., against farnesylation of Ras protein in NIH3T3 cells expressing activated ras gene.

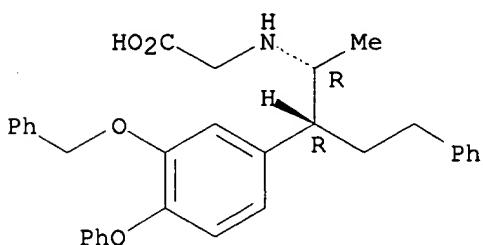
IT **194921-69-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic amic acid derivs. as protein-farnesyl transferase (PFT) inhibitors for antitumor and anti-HIV agents)

RN 194921-69-2 HCAPLUS

CN Glycine, N-[(1R,2R)-1-methyl-2-[4-phenoxy-3-(phenylmethoxy)phenyl]-4-phenylbutyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **194921-71-6P 194921-99-8P 194922-07-1P**

194922-09-3P 194922-11-7P 194922-13-9P

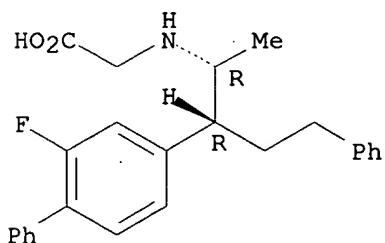
194922-15-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of cyclic amic acid derivs. as protein-farnesyl transferase (PFT) inhibitors for antitumor and anti-HIV agents)

RN 194921-71-6 HCAPLUS

CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]- (9CI) (CA INDEX NAME)

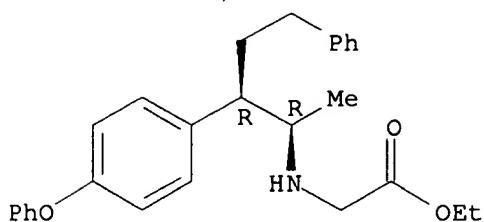
Absolute stereochemistry.



RN 194921-99-8 HCAPLUS

CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, ethyl ester (9CI) (CA INDEX NAME)

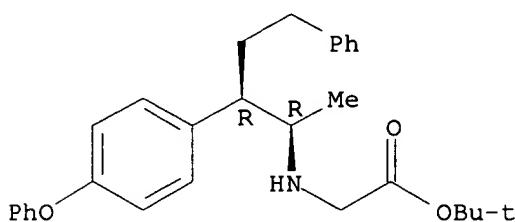
Absolute stereochemistry.



RN 194922-07-1 HCPLUS

CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

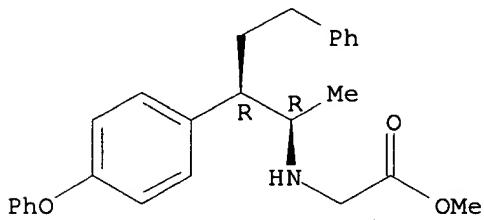
Absolute stereochemistry.



RN 194922-09-3 HCPLUS

CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

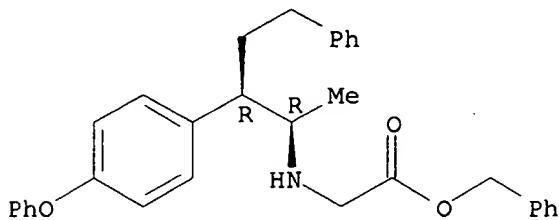
Absolute stereochemistry.



RN 194922-11-7 HCPLUS

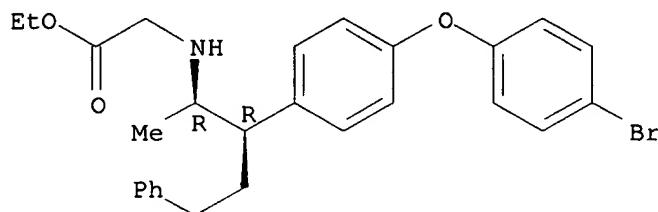
CN Glycine, N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



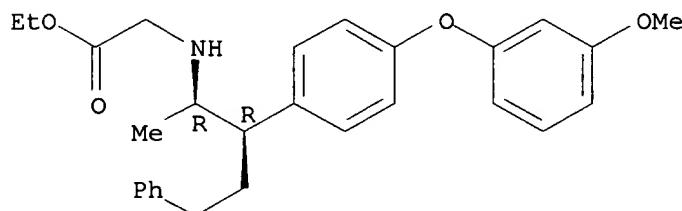
RN 194922-13-9 HCPLUS
 CN Glycine, N-[(1R,2R)-2-[4-(4-bromophenoxy)phenyl]-1-methyl-4-phenylbutyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 194922-15-1 HCPLUS
 CN Glycine, N-[(1R,2R)-2-[4-(3-methoxyphenoxy)phenyl]-1-methyl-4-phenylbutyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L63 ANSWER 4 OF 9 HCPLUS COPYRIGHT 2002 ACS

AN 1997:542419 HCPLUS

DN 127:176275

TI Preparation of substituted amide derivatives as antitumor agents

IN Iwasawa, Yoshikazu; Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

PA Banyu Pharmaceutical Co., Ltd., Japan; Iwasawa, Yoshikazu; Aoyama, Tetsuya; Kawakami, Kumiko; Arai, Sachie; Satoh, Toshihiko; Monden, Yoshiaki

SO PCT Int. Appl., 97 pp.

CODEN: PIXXD2

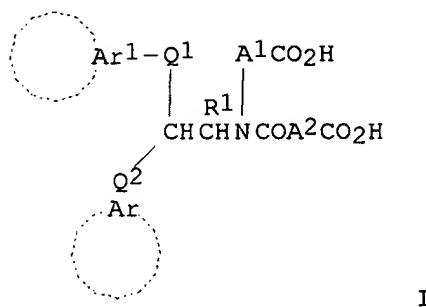
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9729077	A1	19970814	WO 1997-JP302	19970207
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,			

MR, NE, SN, TD, TG
AU 9716190 A1 19970828 AU 1997-16190 19970207
PRAI JP 1996-45501 19960207
WO 1997-JP302 19970207
OS MARPAT 127:176275
GI



AB The title compds. I [Ar1 represents aryl or heterocyclic arom. group; Ar represents aryl, etc.; Al represents C1 - C4 hydrocarbyl; A2 represents C1 - C8 hydrocarbyl; m is an integer of 1 to 6; Q1 represents a single bond, a group represented by CH2O, etc.; Q2 represents a single bond or a group represented by -(CH2)m, etc.; R1 represents lower alkyl] are prep'd.
(2R)-2-[N-(carboxymethyl)-N-[(1R,2R)-1-methyl-2-(4-phenoxyphenyl)-4-phenylbutyl]carbamoylmethyl]succinic acid in vitro showed IC50 of 0.2 nM (against protein farnesyl transferase) and IC50 of 2.9 .mu.M (against ras protein farnesylation).

IT 194018-70-7P 194018-74-1P 194018-79-6P

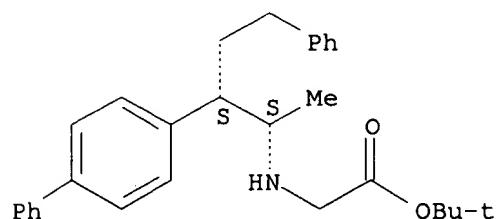
194018-80-9P 194018-84-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted amide derivs. as antitumor agents)

RN 194018-70-7 HCAPLUS

CN Glycine, N-[(1R,2R)-2-[1,1'-biphenyl]-4-yl-1-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

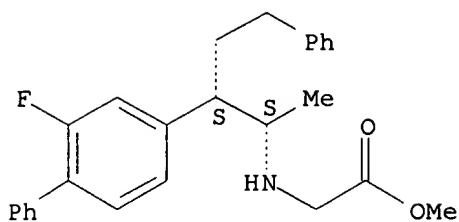
Relative stereochemistry.



RN 194018-74-1 HCAPLUS

CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

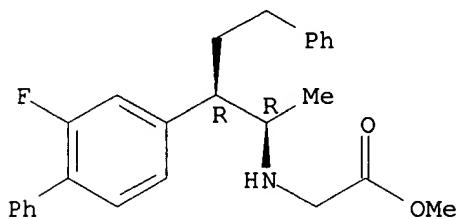
Relative stereochemistry.



RN 194018-79-6 HCPLUS

CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

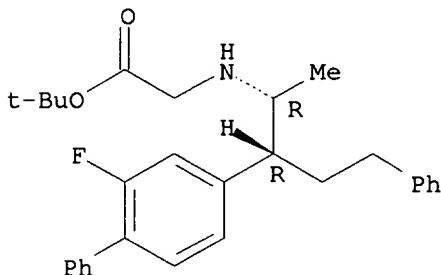
Absolute stereochemistry.



RN 194018-80-9 HCPLUS

CN Glycine, N-[(1R,2R)-2-(2-fluoro[1,1'-biphenyl]-4-yl)-1-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

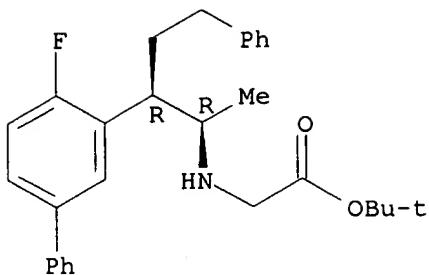
Relative stereochemistry.



RN 194018-84-3 HCPLUS

CN Glycine, N-[(1R,2R)-2-(4-fluoro[1,1'-biphenyl]-3-yl)-1-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L63 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2002 ACS

AN 1990:515870 HCAPLUS

DN 113:115870

TI Optically active glycine derivatives, their preparation, and their use as additives for mobile phases in liquid chromatography for optical resolution.

IN Yamato, Maki; Mitamura, Shuichi

PA Nippon Steel Corp., Japan; Nippon Steel Chemical Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

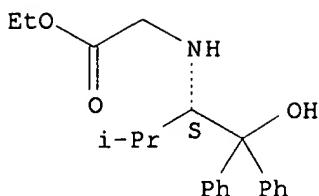
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02129158	A2	19900517	JP 1988-279322	19881107
OS	MARPAT 113:115870				
AB	Optically active compds. are resolved using optically active (R ₄₀)CR ₂ R ₃ CHR ₁ NR ₅ CH ₂ CO ₂ R ₆ [I: R ₁ = (substituted) hydrocarbon; R ₂ - R ₆ = H, (substituted) hydrocarbon; R ₁ and R ₅ may be bonded to form a cyclic structure] or their salts, prep'd. by treating optically active (R ₄₀)CR ₂ R ₃ CHR ₁ NR ₅ H (II) with XCH ₂ CO ₂ R ₇ , R ₇ = (substituted) hydrocarbon; X = halo]. Thus, Grignard reaction of 30.6 g L-valine Et ester-HCl with PhMgBr (prep'd. in situ) at 0.degree. for 16 h gave 15.7 g (2S)-II (R ₁ = CHMe ₂ , R ₂ = R ₃ = Ph, R ₄ = R ₅ = H), whose mixt. with BrCH ₂ CO ₂ Et and K ₂ CO ₃ in toluene was stirred with 4-(dimethylamino)pyridine at 90.degree. for 48 h to give 47% (2S)-I (R ₁ = CHMe ₂ , R ₂ = R ₃ = Ph, R ₄ = R ₅ = H, R ₆ = Et), whose hydrolysis by aq. NaOH gave (2S)-I (R ₁ = CHMe ₂ , R ₂ = R ₃ = Ph, R ₄ = R ₅ = H, R ₆ = Na) (III). Then, DL-phenylalanine was resolved by liq. chromatog. on a ODS column using the mobile phase contg. III with a sepn. coeff. of 1.52.				
IT	129189-88-4P 129189-89-5P 129189-90-8P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prep'n. of, as additive for mobile phases of liq. chromatog.)				
RN	129189-88-4	HCAPLUS			
CN	Glycine, N-[1-(hydroxydiphenylmethyl)-2-methylpropyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)				

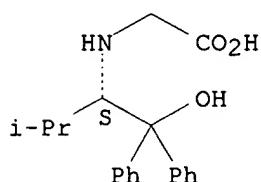
Absolute stereochemistry.



RN 129189-89-5 HCPLUS

CN Glycine, N-[1-(hydroxydiphenylmethyl)-2-methylpropyl]-, monosodium salt, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

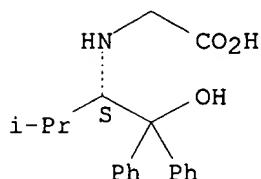


● Na

RN 129189-90-8 HCPLUS

CN Glycine, N-[1-(hydroxydiphenylmethyl)-2-methylpropyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L63 ANSWER 6 OF 9 HCPLUS COPYRIGHT 2002 ACS

AN 1981:41059 HCPLUS

DN 94:41059

TI A rapid and simple screening method for methamphetamine in urine by radioimmunoassay using an iodine-125-labeled methamphetamine derivative

AU Inayama, Seiichi; Tokunaga, Yukiko; Hosoya, Eikichi; Nakadate, Teruo; Niwaguchi, Tetsukichi; Aoki, Kimiko; Saito, Shoji

CS Sch. Med., Keio Univ., Tokyo, 160, Japan

SO Chem. Pharm. Bull. (1980), 28(9), 2779-82

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

AB N-Carboxymethylmethamphetamine [76094-28-5], a deriv. of methamphetamine [537-46-2] was prep'd. through a new synthetic pathway from dl-ephedrine [90-81-3]. Specific antiserum was obtained by immunization of rabbits

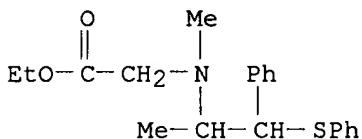
with the conjugate of N-carboxymethylmethamphetamine with bovine serum albumin. A radioimmunoassay procedure was established using this antibody (specific for methamphetamine) and a ^{125}I -methamphetamine deriv. A high degree of specificity of the antibody was confirmed by testing for cross-reaction with several methamphetamine analogs, and the sensitivity was found to be 1 ng/tube. The present micro method using radioimmunoassay is highly sensitive, simple and may be useful as a micro-scale primary screening test for methamphetamine excreted in human urine, for forensic and medical purposes.

IT 63835-94-9P 63835-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63835-94-9 HCAPLUS

CN Glycine, N-methyl-N-[1-methyl-2-phenyl-2-(phenylthio)ethyl]-, ethyl ester
(9CI) (CA INDEX NAME)



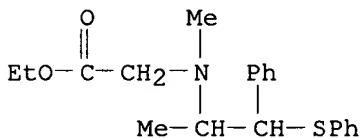
RN 63835-95-0 HCAPLUS

CN Platinato(2-), hexachloro-, (OC-6-11)-, dihydrogen, compd. with
N-methyl-N-[1-methyl-2-phenyl-2-(phenylthio)ethyl]glycine ethyl ester
(1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 63835-94-9

CMF C20 H25 N O2 S



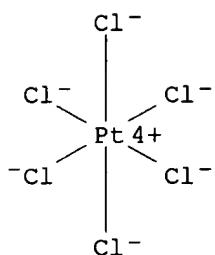
CM 2

CRN 16941-12-1

CMF Cl6 Pt . 2 H

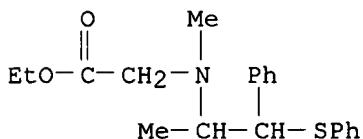
CCI CCS

CDES 7:OC-6-11



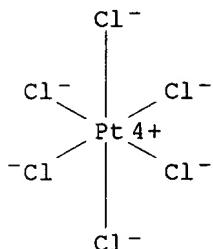
●2 H⁺

L63 ANSWER 7 OF 9 HCPLUS COPYRIGHT 2002 ACS
 AN 1977:495271 HCPLUS
 DN 87:95271
 TI Preparation of a specific antibody to methamphetamine
 AU Inayama, Seiichi; Tokunaga, Yukiko; Hosoya, Eikichi; Nakadate, Teruo;
 Niwaguchi, Tetsukichi; Aoki, Kimiko; Saito, Shoji
 CS Sch. Med., Keio Univ., Tokyo, Japan
 SO Chem. Pharm. Bull. (1977), 25(4), 838-40
 CODEN: CPBTAL
 DT Journal
 LA English
 AB N-Carboxymethylmethamphetamine [63677-38-3] was synthesized directly from methamphetamine [537-46-2] and through a new route starting from dl-ephedrine [90-81-3]. This new hapten was conjugated with bovine serum albumin and the antiserum for methamphetamine was prep'd. by immunization of rabbits with the conjugate. The prodn. of the antibody for methamphetamine was confirmed by the ring test and Ouchterlony method.
 IT 63835-95-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and desulfuration of)
 RN 63835-95-0 HCPLUS
 CN Platinate(2-), hexachloro-, (OC-6-11)-, dihydrogen, compd. with N-methyl-N-[1-methyl-2-phenyl-2-(phenylthio)ethyl]glycine ethyl ester (1:2) (9CI) (CA INDEX NAME)
 CM 1
 CRN 63835-94-9
 CMF C20 H25 N O2 S



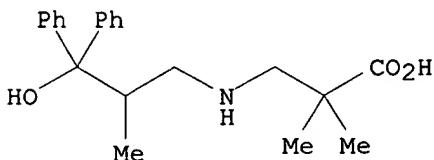
CM 2

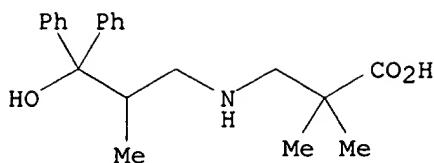
CRN 16941-12-1
 CMF Cl6 Pt . 2 H
 CCI CCS
 CDES 7:OC-6-11



●2 H⁺

L63 ANSWER 8 OF 9 HCPLUS COPYRIGHT 2002 ACS
 AN 1972:42042 HCPLUS
 DN 76:42042
 TI Central nervous system agents. 3. Structure-activity relation of a series of diphenylaminopropanols
 AU Keasling, Hugh H.; Moffett, Robert B.
 CS Res. Lab., Upjohn Co., Kalamazoo, Mich., USA
 SO J. Med. Chem. (1971), 14(11), 1106-12
 CODEN: JMCMAR
 DT Journal
 LA English
 AB A series of diphenylaminopropanols (I) was evaluated for acute toxicity, anticonvulsant, anorexigenic, and anticholinergic activity and effects on simple reflexes in mice. Therapeutic ratio was maximized in 1,1-diphenyl-2-methyl-3-aminopropanol-HCl (I, R = R1 = H X = Cl) [33887-05-7] and the 1-isomer was more active, but was not more toxic. Anticholinergic activity was minimized by the presence of a 2-Me group. In general, tertiary amines were less active as anticonvulsants and on the simple reflexes, than primary or secondary amines. Structure-activity relations were discussed.
 IT 35632-37-2
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacol. of)
 RN 35632-37-2 HCPLUS
 CN Propanoic acid, 3-[(3-hydroxy-2-methyl-3-diphenylpropyl)amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)





L63 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2002 ACS

AN 1972:42041 HCAPLUS

DN 76:42041

TI Central nervous system agents. 2. Synthesis of diphenyl primary and secondary aminopropanols

AU Moffett, Robert B.; Pickering, Timothy L.

CS Res. Lab., Upjohn Co., Kalamazoo, Mich., USA

SO J. Med. Chem. (1971), 14(11), 1100-6

CODEN: JMCMAR

DT Journal

LA English

AB A series of 1,1-diaryl-2-methyl-3-(substituted amino)propanols (I) were prep'd. and tested for central nervous system activity in animals. The primary amines were prep'd. by redn. of the corresponding nitriles and most of the secondary amines by reductive alkylation of the primary amines. A new cleavage of .beta.-amino esters by Grignard reagents was described. Dl-1,1-diphenyl-2-methyl-3-aminopropanol (I, R = R1 = H) [33860-73-0] was resolved into its optical isomers and the l-isomer when tested in man, showed antidepressant activity with undesirable side effects.

IT 35632-37-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)

RN 35632-37-2 HCAPLUS

CN Propanoic acid, 3-[(3-hydroxy-2-methyl-3-diphenylpropyl)amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)

